PATENT COOPERATION TREATY

USA

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION CONCERNING SUBMISSION OR TRANSMITTAL OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

To:

BRACHOTTE, Charles
Rhône-Poulenc Agro
Département Propriété Industrielle
-DPI-
B.P. 9163
F-69263 Lyon Cedex 09
FRANCE

Date of mailing (day/month/year) 31 March 2000 (31.03.00)	
Applicant's or agent's file reference PH 99012 G1	**IMPORTANT NOTIFICATION**
International application No. PCT/EP00/01102	International filing date (day/month/year) 01 February 2000 (01.02.00)
International publication date (day/month/year) Not yet published	Priority date (day/month/year) 01 February 1999 (01.02.99)
Applicant AVENTIS AGRICULTURE LIMITED et al	

1. The applicant is hereby notified of the date of receipt (except where the letters "NR" appear in the right-hand column) by the International Bureau of the priority document(s) relating to the earlier application(s) indicated below. Unless otherwise indicated by an asterisk appearing next to a date of receipt, or by the letters "NR", in the right-hand column, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).

2. This updates and replaces any previously issued notification concerning submission or transmittal of priority documents.

3. An **asterisk(*)** appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b). In such a case, **the attention of the applicant is directed** to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

4. The **letters "NR"** appearing in the right-hand column denote a priority document which was not received by the International Bureau or which the applicant did not request the receiving Office to prepare and transmit to the International Bureau, as provided by Rule 17.1(a) or (b), respectively. In such a case, **the attention of the applicant is directed** to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

Priority date	Priority application No.	Country or regional Office or PCT receiving Office	Date of receipt of priority document
01 Febr 1999 (01.02.99)	9902232.9	GB	NR
12 Apri 1999 (12.04.99)	9908313.1	GB	NR

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 740.14.35

Authorized officer

S. De Michiel

Telephone No. (41-22) 338.83.38

PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

To:

Assistant Commissioner for Patents
United States Patent and Trademark Office
Box PCT
Washington, D.C.20231
ETATS-UNIS D'AMERIQUE

in its capacity as elected Office

Date of mailing (day/month/year) 10 October 2000 (10.10.00)	
International application No. PCT/EP00/01102	**Applicant's or agent's file reference** PH 99012 G1
International filing date (day/month/year) 01 February 2000 (01.02.00)	**Priority date** (day/month/year) 01 February 1999 (01.02.99)
Applicant ROBERTS, David, Alan et al	

1. The designated Office is hereby notified of its election made:

[X] in the demand filed with the International Preliminary Examining Authority on:

10 August 2000 (10.08.00)

[ ] in a notice effecting later election filed with the International Bureau on:

2. The election [X] was

[ ] was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer

A. Karkachi

Telephone No.: (41-22) 338.83.38

USA

PATENT COOPERATION TREATY

PCT

From the INTERNATIONAL BUREAU

To:

MERIGEAULT, Shona
Aventis CropScience S.A.
Groupement de mandataires n°153 -
DPI
B.P. 9163
F-69263 Lyon Cedex 09
FRANCE

INFORMATION CONCERNING ELECTED OFFICES NOTIFIED OF THEIR ELECTION

(PCT Rule 61.3)

Date of mailing (day/month/year) 10 October 2000 (10.10.00)	
Applicant's or agent's file reference PH 99012 G1	**IMPORTANT INFORMATION**

International application No.	**International filing date** (day/month/year)	**Priority date** (day/month/year)
PCT/EP00/01102	01 February 2000 (01.02.00)	01 February 1999 (01.02.99)

Applicant
AVENTIS AGRICULTURE LIMITED et al

1. The applicant is hereby informed that the International Bureau has, according to Article 31(7), notified each of the following Offices of its election:

   AP :GH,GM,KE,LS,MW,SD,SL,SZ,TZ,UG,ZW
   EP :AT,BE,CH,CY,DE,DK,ES,FI,FR,GB,GR,IE,IT,LU,MC,NL,PT,SE
   National :AU,BG,CA,CN,CZ,DE,IL,JP,KP,KR,MN,NO,NZ,PL,RO,RU,SE,SK,US

2. The following Offices have waived the requirement for the notification of their election; the notification will be sent to them by the International Bureau only upon their request:

   EA :AM,AZ,BY,KG,KZ,MD,RU,TJ,TM
   OA :BF,BJ,CF,CG,CI,CM,GA,GN,GW,ML,MR,NE,SN,TD,TG
   National :AE,AL,AM,AT,AZ,BA,BB,BR,BY,CH,CR,CU,DK,DM,EE,ES,FI,GB,GD,GE,GH,
   GM,HR,HU,ID,IN,IS,KE,KG,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MW,MX,PT,SD,
   SG,SI,SL,TJ,TM,TR,TT,TZ,UA,UG,UZ,VN,YU,ZA,ZW

3. The applicant is reminded that he must enter the "national phase" **before the expiration of 30 months from the priority date** before each of the Offices listed above. This must be done by paying the national fee(s) and furnishing , if prescribed, a translation of the international application (Article 39(1)(a)), as well as, where applicable, by furnishing a translation of any annexes of the international preliminary examination report (Article 36(3)(b) and Rule 74.1).

   Some offices have fixed time limits expiring later than the above-mentioned time limit. For detailed information about the applicable time limits and the acts to be performed upon entry into the national phase before a particular Office, see Volume II of the PCT Applicant's Guide.

   The entry into the European regional phase is postponed **until 31 months from the priority date** for all States designated for the purposes of obtaining a European patent.

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 740.14.35

Authorized officer:
A. Karkachi

Telephone No. (41-22) 338.83.38

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference	**FOR FURTHER ACTION**	see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.
PH 99012 G1		
International application No.	International filing date *(day/month/year)*	(Earliest) Priority Date *(day/month/year)*
PCT/EP 00/ 01102	01/02/2000	01/02/1999
Applicant		
AVENTIS AGRICULTURE LIMITED		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 3 sheets.

[X] It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the report**

   a. With regard to the **language,** the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

   [ ] the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

   b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

   [ ] contained in the international application in written form.

   [ ] filed together with the international application in computer readable form.

   [ ] furnished subsequently to this Authority in written form.

   [ ] furnished subsequently to this Authority in computer readble form.

   [ ] the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   [ ] the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. [ ] **Certain claims were found unsearchable** (See Box I).

3. [ ] **Unity of invention is lacking** (see Box II).

4. With regard to the **title,**

   [X] the text is approved as submitted by the applicant.

   [ ] the text has been established by this Authority to read as follows:

5. With regard to the **abstract,**

   [X] the text is approved as submitted by the applicant.

   [ ] the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

   [ ] as suggested by the applicant.

   [ ] because the applicant failed to suggest a figure.

   [ ] because this figure better characterizes the invention.

   [X] None of the figures.

INTERNATIONAL SEARCH REPORT

International Application No
P[redacted]P 00/01102

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A01N43/80 A01N25/26 A01N25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97 43270 A (CIBA GEIGY AG ;LEE SHY FUH (US)) 20 November 1997 (1997-11-20) page 8, line 31 page 9, line 37 -page 10, line 10 ---	1-4,6-13
X	EP 0 527 036 A (RHONE POULENC AGRICULTURE) 10 February 1993 (1993-02-10) cited in the application page 9, line 5,6 ---	1-6,10
X	B. M. LUSCOMBE & K. E. PALLETT: "Isoxaflutole for weed control in maize" PESTICIDE OUTLOOK,December 1996 (1996-12), pages 29-32, XP000909474 page 30, column 2, paragraph 1 -page 31, column 2, paragraph 2 --- -/--	1-6,10

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
29 May 2000	14/06/2000

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Tx. 31 651 epo nl, Fax: (+31–70) 340–3016	Klaver, J

1

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International Application No
PC[redacted] 00/01102

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	J. ROUCHAUD, O. NEUS, D. CALLENS & R. BULCKE: "Isofluxatol herbicide soil persistence and mobility in summer corn and winter wheat crops." BULL. ENVIRON. CONTAM. TOXICOL., vol. 60, 1998, pages 577-584, XP000909380 page 582, paragraph 1 -page 583, paragraph 2	1-6,10

1

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
P[redacted]P 00/01102

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9743270 A	20-11-1997	AU 2953897 A	05-12-1997
		BR 9708950 A	13-05-1999
		CN 1211976 A	24-03-1999
		EP 0901479 A	17-03-1999
		ZA 9704171 A	16-11-1998
EP 0527036 A	10-02-1993	AT 144981 T	15-11-1996
		AU 655648 B	05-01-1995
		AU 2073092 A	11-02-1993
		BG 61568 B	30-12-1997
		BG 96747 A	24-03-1994
		BR 9203100 A	30-03-1993
		CA 2075348 A	06-02-1993
		CN 1069268 A,B	24-02-1993
		CN 1149582 A	14-05-1997
		CZ 284801 B	17-03-1999
		DE 69215028 D	12-12-1996
		DE 69215028 T	07-05-1997
		DK 527036 T	25-11-1996
		EG 19908 A	31-05-1996
		ES 2094878 T	01-02-1997
		FI 923515 A	06-02-1993
		GR 3022068 T	31-03-1997
		HK 1003790 A	06-11-1998
		HR 920256 A	31-10-1995
		HU 61734 A	01-03-1993
		IL 102675 A	31-10-1996
		JP 5202008 A	10-08-1993
		MX 9204522 A	01-08-1993
		NZ 243817 A	24-02-1995
		RO 111678 A	30-12-1996
		SK 241292 A	08-02-1995
		RU 2065854 C	27-08-1996
		TR 27328 A	12-01-1995
		ZA 9205872 A	01-03-1993
		ZW 12692 A	15-09-1993

WO 00/45637
PCT/EP00/01102

PATENT COOPERATION TREATY

PCT

From the INTERNATIONAL BUREAU

To:
BRACHOTTE, Charles
Rhône-Poulenc Agro
Département Propriété Industrielle
Boite postale 9163
F-69263 Lyon Cedex 09
FRANCE

NOTICE INFORMING THE APPLICANT OF THE COMMUNICATION OF THE INTERNATIONAL APPLICATION TO THE DESIGNATED OFFICES

(PCT Rule 47.1(c), first sentence)

Date of mailing (day/month/year) 10 August 2000 (10.08.00)	
Applicant's or agent's file reference PH 99012 G1	**IMPORTANT NOTICE**

International application No.	**International filing date** (day/month/year)	**Priority date** (day/month/year)
PCT/EP00/01102	01 February 2000 (01.02.00)	01 February 1999 (01.02.99)

Applicant
AVENTIS AGRICULTURE LIMITED et al

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:
   AU,JP,KP,KR,US

   In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:
   AE,AL,AM,AP,AT,AZ,BA,BB,BG,BR,BY,CA,CH,CN,CR,CU,CZ,DE,DK,DM,EA,EE,EP,ES,FI,GB,GD,GE,GH,GM,HR,HU,ID,IL,IN,IS,KE,KG,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MN,MW,MX,NO,NZ,OA,PL,PT,RO,RU,SD,SE,SG,SI,SK,SL,TJ,TM,TR,TT,TZ,UA,UG,UZ,VN,YU,ZA,ZW
   The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).

3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on
   10 August 2000 (10.08.00) under No. WO 00/45637

REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a **demand for international preliminary examination** must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))

If the applicant wishes to proceed with the international application in the **national phase**, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 740.14.35

Authorized officer
J. Zahra

Telephone No. (41-22) 338.83.38

Continuation of Form PCT/IB/308

NOTICE INFORMING THE APPLICANT OF THE COMMUNICATION OF THE INTERNATIONAL APPLICATION TO THE DESIGNATED OFFICES

Date of mailing (day/month/year)	IMPORTANT NOTICE
10 August 2000 (10.08.00)	
Applicant's or agent's file reference	**International application No.**
PH 99012 G1	PCT/EP00/01102

The applicant is hereby notified that, at the time of establishment of this Notice, the time limit under Rule 46.1 for making amendments under Article 19 has not yet expired and the International Bureau had received neither such amendments nor a declaration that the applicant does not wish to make amendments.

PCT

REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

PCT/EP00/01102

International Application No.

01 FEB 2000 (01.02.2000)

International Filing Date

EUROPEAN PATENT OFFICE
PCT INTERNATIONAL APPLICATION

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference *(if desired) (12 characters maximum)* PH 99012 G1

Box No. I TITLE OF INVENTION WEED CONTROL

Box No. II APPLICANT

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

Aventis Agriculture Limited
Fyfield Road
ONGAR
Essex, CM5 OHW
United Kingdom

☐ This person is also inventor.

Telephone No. 441277 301 301

Facsimile No.

Teleprinter No.

State *(that is, country)* of nationality: ~~United Kingdom~~ GB

State *(that is, country)* of residence: ~~United Kingdom~~ GB

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

ROBERTS David Alan
Aventis Agriculture Ltd
Research Station - Fyfield Road
ONGAR, Essex CM5 OHW
~~United Kingdom~~

This person is:

☐ applicant only

☒ applicant and inventor

☐ inventor only *(If this check-box is marked, do not fill in below.)*

State *(that is, country)* of nationality: ~~United Kingdom~~ GB

State *(that is, country)* of residence: ~~United Kingdom~~ GB

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as: ☒ agent ☐ common representative

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*

~~Groupement de mandataires n° 153~~ - Rhône-Poulenc, c/o
Département Propriété Industrielle - DPI -
Rhône-Poulenc Agro"
B.P. 9163
69263 LYON CEDEX 09, France

Telephone No. 33 4 72 85 26 36

Facsimile No. 33 4 72 85 28 43

Teleprinter No. ----

☐ **Address for correspondence:** Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

Continuation of Box No. III FURT[redacted]APPLICANT(S) AND/OR (FURTHER) INV[redacted]OR(S)

If none of the following sub-boxes is used, this sheet should not be included in the request.

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

ZERROUK Robert
La Combe de Berg
43220 DUNIERES,
France

This person is:
- ☐ applicant only
- ☒ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

State *(that is, country)* of nationality: ~~France~~

State *(that is, country)* of residence: France

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

---

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

COLEGATE Rachel
Aventis Agriculture Ltd
Fyfield Road
ONGAR,
Essex CM5 OHW,
United Kingdom

This person is:
- ☐ applicant only
- ☒ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

State *(that is, country)* of nationality: ~~United Kingdom~~ GB

State *(that is, country)* of residence: ~~United Kingdom~~ GB

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

---

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

This person is:
- ☐ applicant only
- ☐ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

State *(that is, country)* of nationality:

State *(that is, country)* of residence:

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

---

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

This person is:
- ☐ applicant only
- ☐ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

State *(that is, country)* of nationality:

State *(that is, country)* of residence:

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

---

☐ Further applicants and/or (further) inventors are indicated on another continuation sheet.

Box No.V DESIGNATION OF STATES

The following designations are hereby made under Rule 4.9(a) *(mark the applicable check-boxes; at least one must be marked)*:

Regional Patent

☒ **AP** **ARIPO Patent:** **GH** Ghana, **GM** Gambia, **KE** Kenya, **LS** Lesotho, **MW** Malawi, **SD** Sudan, **SL** Sierra Leone, **SZ** Swaziland, **TZ** United Republic of Tanzania, **UG** Uganda, **ZW** Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT

☒ **EA** **Eurasian Patent:** **AM** Armenia, **AZ** Azerbaijan, **BY** Belarus, **KG** Kyrgyzstan, **KZ** Kazakhstan, **MD** Republic of Moldova, **RU** Russian Federation, **TJ** Tajikistan, **TM** Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT

☒ **EP** **European Patent:** **AT** Austria, **BE** Belgium, **CH and LI** Switzerland and Liechtenstein, **CY** Cyprus, **DE** Germany, **DK** Denmark, **ES** Spain, **FI** Finland, **FR** France, **GB** United Kingdom, **GR** Greece, **IE** Ireland, **IT** Italy, **LU** Luxembourg, **MC** Monaco, **NL** Netherlands, **PT** Portugal, **SE** Sweden, and any other State which is a Contracting State of the European Patent Convention and of the PCT

☒ **OA** **OAPI Patent:** **BF** Burkina Faso, **BJ** Benin, **CF** Central African Republic, **CG** Congo, **CI** Côte d'Ivoire, **CM** Cameroon, **GA** Gabon, **GN** Guinea, **GW** Guinea-Bissau, **ML** Mali, **MR** Mauritania, **NE** Niger, **SN** Senegal, **TD** Chad, **TG** Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT *(if other kind of protection or treatment desired, specify on dotted line)* .....

National Patent *(if other kind of protection or treatment desired, specify on dotted line)*:

☒ **AE** United Arab Emirates
☒ **AL** Albania
☒ **AM** Armenia
☒ **AT** Austria
☒ **AU** Australia
☒ **AZ** Azerbaijan
☒ **BA** Bosnia and Herzegovina
☒ **BB** Barbados
☒ **BG** Bulgaria
☒ **BR** Brazil
☒ **BY** Belarus
☒ **CA** Canada
☒ **CH and LI** Switzerland and Liechtenstein
☒ **CN** China
☒ **CR** Costa Rica
☒ **CU** Cuba
☒ **CZ** Czech Republic
☒ **DE** Germany
☒ **DK** Denmark
☒ **DM** Dominica
☒ **EE** Estonia
☒ **ES** Spain
☒ **FI** Finland
☒ **GB** United Kingdom
☒ **GD** Grenada
☒ **GE** Georgia
☒ **GH** Ghana
☒ **GM** Gambia
☒ **HR** Croatia
☒ **HU** Hungary
☒ **ID** Indonesia
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☒ **UZ** Uzbekistan
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☒ **ZA** South Africa
☒ **ZW** Zimbabwe

Check-boxes reserved for designating States which have become party to the PCT after issuance of this sheet:

☐ .....
☐ .....

Precautionary Designation Statement: In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. *(Confirmation (including fees) must reach the receiving Office within the 15-month time limit.)*

PCT/EP 00 / 01102

Box No. VI PRIORITY CLAIM ☐ Further priority claim indicated in the Supplemental Box.

Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is: national application: country	regional application:* regional Office	international application: receiving Office
item (1) (01. 02. 99) 1 FEBRUARY 1999	9902232.9	GB ~~United Kingdom~~		
item (2) (12. 04. 99) 12 APRIL 1999	9908313.1	GB ~~United Kingdom~~		
item (3)				

☐ The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) *(only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office)* identified above as item(s): _____

* *Where the earlier application is an ARIPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii)). See Supplemental Box.*

Box No. VII INTERNATIONAL SEARCHING AUTHORITY

Choice of International Searching Authority (ISA) *(if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used)* :

ISA /

Request to use results of earlier search; reference to that search *(if an earlier search has been carried out by or requested from the International Searching Authority)*:

Date *(day/month/year)* Number Country *(or regional Office)*

Box No. VIII CHECK LIST; LANGUAGE OF FILING

This international application contains the following **number of sheets**:

request	:	4
description (excluding sequence listing part)	:	2~~8~~ 37
claims	:	2
abstract	:	1
drawings	:	
sequence listing part of description	:	
Total number of sheets	:	~~29~~ 30

This international application is **accompanied by** the item(s) marked below:

1. ☒ fee calculation sheet
2. ☒ separate signed power of attorney (3)
3. ☒ copy of general power of attorney; reference number, if any: 39476
4. ☐ statement explaining lack of signature
5. ☐ priority document(s) identified in Box No. VI as item(s):
6. ☐ translation of international application into (language):
7. ☐ separate indications concerning deposited microorganism or other biological material
8. ☐ nucleotide and/or amino acid sequence listing in computer readable form
9. ☐ other *(specify)*:

Figure of the drawings which should accompany the abstract:

Language of filing of the international application:

Box No. IX SIGNATURE OF APPLICANT OR AGENT

Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).

Groupement de mandataires n° 153
"Département Propriété Industrielle
RHONE-POULENC AGRO"

Charles BRACHOTTE - PG 32476

For receiving Office use only

1. Date of actual receipt of the purported international application: 11 FEV. 2000 (01 02 2000)
2. Drawings: ☐ received: ☐ not received:
3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:
4. Date of timely receipt of the required corrections under PCT Article 11(2):
5. International Searching Authority (if two or more are competent): ISA /
6. ☐ Transmittal of search copy delayed until search fee is paid.

For International Bureau use only

Date of receipt of the record copy by the International Bureau:

PATENT COOPERATION TREATY

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

PCT

To:

MERIGEAULT, Shona et al
AVENTIS CROPSCIENCE S.A.
Département Propriété Industrielle
B.P. 9163
F-69263 Lyon Cedex 09
FRANCE

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Rule 71.1)

Date of mailing *(day/month/year)*	06.04.2001
Applicant's or agent's file reference PH 99012 G1	**IMPORTANT NOTIFICATION**

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP00/01102	01/02/2000	01/02/1999

Applicant
AVENTIS AGRICULTURE LIMITED et al

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

Name and mailing address of the IPEA/	Authorized officer
European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	THORNTON, J Tel.+49 89 2399-8072

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
PH 99012 G1		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP00/01102	01/02/2000	01/02/1999
International Patent Classification (IPC) or national classification and IPC A01N43/80		
Applicant AVENTIS AGRICULTURE LIMITED et al		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 4 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations suporting such statement
   - VI ☐ Certain documents cited
   - VII ☒ Certain defects in the international application
   - VIII ☒ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
10/08/2000	06.04.2001
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Klaver, J Telephone No. +49 89 2399 8601

Form PCT/IPEA/409 (cover sheet) (January 1994)

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/01102

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:
Description, pages:

1-23 as originally filed

Claims, No.:

1-13 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	5-9,12
	No:	Claims	1-4,10,11,13
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1 - 13 (insofar as novel)
Industrial applicability (IA)	Yes:	Claims	1 - 13
	No:	Claims	

2. Citations and explanations
see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:
see separate sheet

1) A delayed release composition comprising an isoxazole herbicide has been disclosed in WO 97/43270 (D1): see the microcapsule suspension disclosed on pages 9 and 10 of D1.
The subject-matter of claims 11 and 13 hence is not novel (Art. 33 (2) PCT).

2). A method for the control of weeds by treating the soil with a sequential delivery of isoxazole herbicide is known in the art as can be seen from EP 527 036 A1 (D2): page 9, lines 5/6. Method claims 1 - 4 and 10 hence are not novel either (Art. 33 (2) PCT).

3). It can be seen from Luscombe & Pallett, 1996 (D3), that it is known in the art, that isoxaflutol is rapidly metabolized in soil (D3, page 30, right hand col., 3rd full paragraph), whereas Rouchaud et al, 1998 (D4) disclose, that soil dissipation becomes much slower after the first month of application. D4 further discloses, that the greatest concentration of isoxaflutol remains in the upper layers of the soil (D4, page 582, 2nd paragraph; page 583, 2nd paragraph).
A sequential application of low doses and/or application of delayed release compositions of isoxazole herbicides in the surface layers of the soil hence is a logical and obvious application method in order to overcome the rapid degradation or dissipation effects of this herbicide in the soil. Using microencapsulated compositions (e. g. such as disclosed in D1) as preferred formulations in such a method is evident to the skilled artisan, since these formulations are generally well-known and actually intended for their delayed release properties.
Claims 5 - 9 and 12 hence are not based on an inventive step (Art. 33 (3) PCT).

4). It appears, that the invention is directed at (methods/compositions comprising) **benzoyl**-isoxazoles, not isoxazoles in general, as can be derived from page 1, paragraph 1 and Formula (I). The claims hence should be unequivocally directed at these compounds (Art. 6 PCT).

5). - Claim 10 mentions general Formula (I), which is not mentioned in any other claim.
- Claims 12 relies on a reference to the description (example 1), which is not allowable pursuant to Rule 6.2(a) PCT.
- Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D1, D3 and D4 is not mentioned in the description, nor are these documents identified therein.

INTERNATIONAL SEARCH REPORT

...ormation on patent family members

Intern ...al Application No
PCT/EP 97/02442

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5489570 A	06-02-96	AU 676576 B	13-03-97
		AU 6876894 A	09-02-95
		BR 9402331 A	14-03-95
		CA 2117413 A	31-01-95
		CN 1104212 A	28-06-95
		EP 0636622 A	01-02-95
		FI 943561 A	31-01-95
		HU 68000 A	29-05-95
		JP 7149742 A	13-06-95
		ZA 9405654 A	13-04-95
EP 527036 A	10-02-93	AT 144981 T	15-11-96
		AU 655648 B	05-01-95
		AU 2073092 A	11-02-93
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		CA 2075348 A	06-02-93
		CN 1069268 A	24-02-93
		DE 69215028 D	12-12-96
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		EG 19908 A	31-05-96
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		HR 920256 A	31-10-95
		IL 102675 A	31-10-96
		JP 5202008 A	10-08-93
		NZ 243817 A	24-02-95
		SK 241292 A	08-02-95
		RU 2065854 C	27-08-96
		ZA 9205872 A	01-03-93
EP 418175 A	20-03-91	AT 140453 T	15-08-96
		AU 635316 B	18-03-93
		AU 6231390 A	14-03-91
		BG 60562 B	28-08-95
		CA 2024956 A	12-03-91
		CN 1050188 A	27-03-91
		CN 1141294 A	29-01-97
		DE 69027823 D	22-08-96
		DE 69027823 T	09-01-97
		EG 19315 A	29-02-96
		ES 2089003 T	01-10-96

INTERNATIONAL SEARCH REPORT

information on patent family members

Inter ...al Application No
PCT/EP 97/02442

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 418175 A		IL 95587 A	27-11-95
		JP 3118374 A	20-05-91
		OA 9311 A	15-09-92
		RU 2060663 C	27-05-96
		TR 25897 A	01-11-93
EP 581960 A	09-02-94	JP 5345780 A	27-12-93
		WO 9313078 A	08-07-93
		US 5527763 A	18-06-96
DE 2812367 A	05-10-78	AU 3423878 A	20-09-79
		BE 865034 A	18-09-78
		FR 2384776 A	20-10-78
		JP 53119890 A	19-10-78
		NL 7803080 A	26-09-78
		US 4189483 A	19-02-80

WEED CONTROL

David Alan Roberts
Robert Zerrouk
-and-
Rachel Colegate

INTERNATIONAL APPLICATION
-IN ENGLISH-
-with-
SEARCH REPORT

PCT/EP00/01102 .... IFD: -02/01/2000-

PH 99012 Gl .... (5500*99)

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 :
A01N 43/80, 25/26, 25/28

A1

(11) International Publication Number: WO 00/45637

(43) International Publication Date: 10 August 2000 (10.08.00)

(21) International Application Number: PCT/EP00/01102

(22) International Filing Date: 1 February 2000 (01.02.00)

(30) Priority Data:

9902232.9	1 February 1999 (01.02.99)	GB
9908313.1	12 April 1999 (12.04.99)	GB

(71) Applicant *(for all designated States except US)*: AVENTIS AGRICULTURE LIMITED [GB/GB]; Fyfield Road, Ongar, Essex CM5 0HW (GB).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: ROBERTS, David, Alan [GB/GB]; Aventis Agriculture Ltd, Research Station – Fyfield Road, Ongar, Essex CM5 0HW (GB). ZERROUK, Robert [FR/FR]; La Combe de Berg, F–43220 Dunières (FR). COLEGATE, Rachel [GB/GB]; Aventis Agriculture Ltd, Fyfield Road, Ongar, Essex CM5 0HW (GB).

(74) Agent: BRACHOTTE, Charles; Rhône–Poulenc Agro, Département Propriété Industrielle, Boîte postale 9163, F–69263 Lyon Cedex 09 (FR).

(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: WEED CONTROL

(57) Abstract

The invention provides a method for controlling the growth of weeds at a locus in a solid growing medium which comprises treating the locus with a composition comprising an isoxazole herbicide to provide progressive or sequential delivery or release of isoxazole herbicide into the surface layer of the medium.

INTERNATIONAL SEARCH REPORT

Inte[redacted]al Application No
PCT/EP 00/01102

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A01N43/80 A01N25/26 A01N25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97 43270 A (CIBA GEIGY AG ;LEE SHY FUH (US)) 20 November 1997 (1997-11-20) page 8, line 31 page 9, line 37 -page 10, line 10	1-4,6-13
X	EP 0 527 036 A (RHONE POULENC AGRICULTURE) 10 February 1993 (1993-02-10) cited in the application page 9, line 5,6	1-6,10
X	B. M. LUSCOMBE & K. E. PALLETT: "Isoxaflutole for weed control in maize" PESTICIDE OUTLOOK,December 1996 (1996-12), pages 29-32, XP000909474 page 30, column 2, paragraph 1 -page 31, column 2, paragraph 2	1-6,10

-/—

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

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"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
29 May 2000	14/06/2000

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Tx. 31 651 epo nl, Fax: (+31–70) 340–3016	Klaver, J

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/01102

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	J. ROUCHAUD, O. NEUS, D. CALLENS & R. BULCKE: "Isofluxatol herbicide soil persistence and mobility in summer corn and winter wheat crops." BULL. ENVIRON. CONTAM. TOXICOL., vol. 60, 1998, pages 577-584, XP000909380 page 582, paragraph 1 -page 583, paragraph 2	1-6,10

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inte[redacted] Application No
PCT/EP 00/01102

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9743270 A	20-11-1997	AU 2953897 A	05-12-1997
		BR 9708950 A	13-05-1999
		CN 1211976 A	24-03-1999
		EP 0901479 A	17-03-1999
		ZA 9704171 A	16-11-1998
EP 0527036 A	10-02-1993	AT 144981 T	15-11-1996
		AU 655648 B	05-01-1995
		AU 2073092 A	11-02-1993
		BG 61568 B	30-12-1997
		BG 96747 A	24-03-1994
		BR 9203100 A	30-03-1993
		CA 2075348 A	06-02-1993
		CN 1069268 A,B	24-02-1993
		CN 1149582 A	14-05-1997
		CZ 284801 B	17-03-1999
		DE 69215028 D	12-12-1996
		DE 69215028 T	07-05-1997
		DK 527036 T	25-11-1996
		EG 19908 A	31-05-1996
		ES 2094878 T	01-02-1997
		FI 923515 A	06-02-1993
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		HK 1003790 A	06-11-1998
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		HU 61734 A	01-03-1993
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		JP 5202008 A	10-08-1993
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		NZ 243817 A	24-02-1995
		RO 111678 A	30-12-1996
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		TR 27328 A	12-01-1995
		ZA 9205872 A	01-03-1993
		ZW 12692 A	15-09-1993

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

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CM	Cameroon			**PL**	Poland		
CN	China	**KR**	Republic of Korea	**PT**	Portugal		
CU	Cuba	**KZ**	Kazakstan	**RO**	Romania		
CZ	Czech Republic	**LC**	Saint Lucia	**RU**	Russian Federation		
DE	Germany	**LI**	Liechtenstein	**SD**	Sudan		
DK	Denmark	**LK**	Sri Lanka	**SE**	Sweden		
EE	Estonia	**LR**	Liberia	**SG**	Singapore		

WEED CONTROL

This invention relates to a method for controlling the growth of weeds by the progressive application to, or release into, the surface layer of a growing medium, of a benzoylisoxazole herbicide, and to compositions for use in the method.

Background of the invention

The use of isoxazoles for controlling weeds has been described in European Patent Publication Nos. 0418175, 0487357, 0527036 and 0560482. The herbicidal activity of diketonitriles (DKN) which may be formed from the isoxazoles has also been described in European Patent Publication Nos. 0213892, 0496630 and 0496631, and International Publication No. WO 95/25099.

Following the application of isoxazole herbicides they may decompose to diones, in particular diketonitrile (DKN) compounds. This conversion is generally irreversible. The DKN compounds are generally also herbicides. They are generally more water soluble than the isoxazole herbicides and may be subject to movement in the soil profile following rainfall.

It has been found that by modifying the way isoxazole herbicides are applied the ratio of isoxazole to DKN in a growing medium such as soil can be altered in favour of the isoxazole, increasing the ratio of isoxazole to DKN.

It has been found that by maintaining the ratio of isoxazole to DKN, in favour of the isoxazole, in the surface layer of the soil, for example, during the period from application of isoxazole to establishment of a crop, improved control of weeds may be obtained. Furthermore, crop selectivity may be improved and the risk of run off and leaching may be reduced.

An object of the present invention is to provide a method of application and/or composition which reduces the net movement of isoxazole and DKN

through the soil and retains the compounds in the soil surrounding, preferably immediately surrounding, the point of application of the isoxazole.

Another object of the present invention is to provide a method and/or composition which permits the delivery of lower individual dose rates of isoxazole herbicides while maintaining (and sometimes improving) herbicidal efficacy.

A further object of the present invention is to provide a composition, comprising an isoxazole, with improved activity on weed species and/or improved crop selectivity.

The objects of the invention can be achieved in whole or in part by the present invention.

It is known that isoxazoles exert their herbicidal activity in plants by conversion to DKN compounds. It might be expected, therefore, that application of isoxazole in such a way as to accelerate or favour its conversion to DKN would be advantageous. The Applicants have found that the opposite is true.

The present invention provides a method for controlling the growth of weeds at a locus in a solid growing medium which comprises treating the locus with a composition comprising an isoxazole herbicide to provide progressive or sequential delivery or release of isoxazole herbicide into the surface layer of the medium.

The growing medium includes composts but is preferably the soil.

The locus is preferably a crop-growing locus, for example, where a crop is sown and cultivated.

The surface layer is generally from the surface to a depth of 10 cm, preferably to a depth of 5 cm, more preferably to a depth of 3 cm.

According to a feature of the invention the method comprises applying to the locus, for example where a crop is sown and cultivated, sequential low doses of isoxazole herbicides. For example the normal dosage may be divided into two or more, for example 2 to 5, generally equal portions and applied at time-spaced intervals, each application after the first being made, for example 1 to 4 days, preferably 1 day, after the preceding one.

According to a further feature of the present invention the method comprises treating the locus with a delayed release composition comprising the isoxazole herbicide.

The delayed release composition, which constitutes a feature of the invention, may comprise, for example, an encapsulated composition comprising the isoxazole itself or a composition containing it. The delayed release compositions may be prepared by known methods.

The encapsulated product may have a solid outer wall, said wall comprising an inert material, generally having no substantial herbicidal activity.

The encapsulated isoxazole according to the present invention may comprise granules comprising an isoxazole derivative of formula (I), each of these granules being encapsulated with a solid film comprising an inert material itself having no substantial herbicidal activity.

Preferably the inert material is a water-soluble polymeric material, modified by treatment to render it substantially water insoluble.

Soluble materials which may used include:

a copolyester; polyvinylalcohol; polyacrylate; polycarboxylate; gelatine; polysulfonate, for example the polystyryl polysulfones, a protein, a polyethylene oxide; a modified or unmodified starch; a cellulose for example carboxymethyl cellulose; a dextran, maltose, an alkyl-, hydroxyalkyl-, carboxyalkyl-cellulose; a polyvinylether; poly(2,4-diethyl-6-triazolethylene); poly(vinylsulfonic acid), polyanhydride, a low molecular weight urea-formaldehyde resin, a low molecular weight melamine-formaldehyde resin, a polymethacrylate for example poly(alkylcyanoacrylate), poly(isobutylcyanoacrylate), poly(2-hydroxyethylmethacrylate), polyacrylic acid or a homologue thereof; low molar mass amphiphiles; low molar mass polymeric amphiphiles; polylactic acid glutamic acid; dendrimers (hyperbranched polymers); phospholipids for example distearoylphosphatidyl choline, dioleoylphosphatidylethanolamine, dipalmitoylphosphatidylcholine, dipalmitoylphosphatidylglycerol, phosphatidylethanolamine, phosphatidylinositol; lipoprotein, semi-solid poly(orthoester)

polycarboxylates; hydrogels. The materials may be in the form of, for example, solid lipid nano/micro spheres; polyester microspheres, nanocapsules, niosomes, liposomes, polymeric micelles. An oil may be used to facilitate the production of an emulsion with small particle sizes and to inhibit agglomeration.

Preferably the water-soluble material is a copolyester, for example gerol which is a copolymer for example: 1,3-Benzenedicarboxylic acid, 5-sulfo-monosodium salt, polymer with 1,3-benzenedicarboxylic acid, 1,4-benzenedicarboxylic acid, 1,2-ethanediol, 2,2'-[1,2-ethanediylbis(oxy)]bis[ethanol] and 2,2'-oxybis[ethanol]. The water-soluble materials may vary in molecular weight and may include oligomers.

The inert water-soluble polymer is generally precipitated by association (by complexation or mixing) with a material which does not itself solubilise the aforementioned water-soluble polymer. The materials which result in precipitation of the polymer include soluble salts of alkaline earth metals (for example calcium). The association can be modulated by adjusting the pH of the water soluble solution of the polymer which solubilises the ions (of the aforementioned alkaline earth) which effect precipitation of the now insolublised polymer to encapsulate the particles of the active material. The pH can be adjusted using, for example, acetic acid. Precipitation can also be induced by adjusting the solvent or solvents without the need for association with another material.

The size of the granules of the active material of an isoxazole derivative of formula (I) is generally from 0.1 to 50 μm, preferably from 1 to 20 μm.

The thickness of the coating of the encapsulating material is generally from 0.1 to 50 μm, preferably from 1 to 20 μm.

The granules of encapsulated 4-benzoylisoxazole derivative of formula (I) according to the present invention may be for example, in a powdered state or in a liquid or solid formulation, contained within a support (or a carrier for application).

Compositions of the present invention improve the release of a herbicide to the soil site to which it is applied and movement resulting from

rain water or irrigation is reduced. A marked improvement in the downward transmigration of the active ingredient from the immediate application area (weed seed zone) through the soil profile is provided.

Compositions of the present invention provide a method for controlling the release of a herbicide in a range of soil types and edaphic conditions by modification of the ratios of isoxazole: carrier material.

The use of compositions of the present invention permits more efficient use of herbicide which is retained in the area of soil application, for example the weed seed zone; thus the amount of herbicide applied may be reduced. In addition, the herbicide is maintained in the area of the weed seed zone for a longer period of time than usual.

Localisation of the herbicide in the weed seed zone improves selectivity on the crop species, for example, maize.

Compositions of the present invention provide a method for reducing the release rate of herbicides, such as isoxazoles, in soil thereby slowing the overall rate of degradation. Encapsulation in a matrix carrier increases the stability of the herbicides as it is protected from the components which may promote degradation, such as moisture or microbial activity.

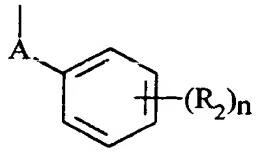
By the term «pre-emergence application» is meant an application to the soil in which the weed seeds or seedlings are present before emergence of the crop. One example of a pre-emergence application is known as «pre-plant incorporated» (PPI), where the herbicide is incorporated into the soil before planting the crop. Another is where the herbicide is applied to the soil surface after sowing the crop. By the term «foliar activity» is meant herbicidal activity produced by application to the aerial or exposed portions of the weeds which have emerged above the surface of the soil.

In general, the application rate of 4-benzoylisoxazole herbicides of formula (I) in compositions of the present invention is from 0.005 kg to 0.5 kg herbicidally active compound, preferably from 0.015 kg to 2 kg herbicidally active compound, more preferably from 0.02 kg to 0.12 kg herbicidally active compound, even more preferably from 0.05 to 0.09 kg herbicidally active compound per hectare. When sequential low doses of

isoxazole herbicide are used, as hereinbefore described, the application rates given above may be divided.

The method of the invention is generally applied to a locus pre-emergence of the weeds and crop plant. Preferably the locus is first cultivated and/or treated to remove existing weeds. For example a burn down herbicide such as glyphosate may be used.

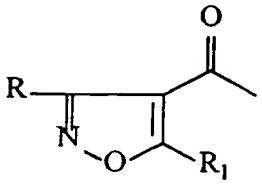
Representative herbicides whose mobility in soil is controlled by compositions of the present invention include 4-benzoylisoxazole derivatives of general formula (I):



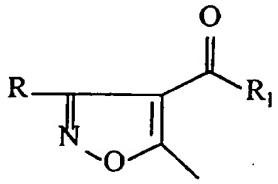
(I)

wherein:

A represents a group (A-1) or (A-2):



(A-1)



(A-2)

wherein:

R represents a hydrogen atom or a halogen atom; a straight- or branched-chain alkyl or alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; a cycloalkyl group containing from 3 to 6 carbon atoms optionally substituted by one or more groups R^5, one or more halogen atoms or a group $-CO_2R^3$; or a group selected from $-CO_2R^3$, $-COR^5$, cyano, nitro, $-CONR^3R^4$ and $-S(O)_kR^{13}$;

R^1 represents a straight- or branched-chain alkyl, alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more groups R^5 or one or more halogen atoms;

R^2 represents a halogen atom; a straight- or branched-chain alkyl, alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; a straight- or branched-chain alkyl group containing up to six carbon atoms which is substituted by one or more groups $-OR^5$; or a group selected from nitro, cyano, $-CO_2R^5$, $-S(O)_pR^6$, $-O(CH_2)_mOR^5$, $-COR^5$, $-NR^{11}R^{12}$, $-N(R^8)SO_2R^7$, $-N(R^8)CO_2R^7$, $-OR^5$, $-OSO_2R^7$, $-SO_2NR^3R^4$, $-CONR^3R^4$, $-CSNR^3R^4$, $-(CR^9R^{10})_t-S(O)_qR^7$ and $-SF_5$; or two groups R^2, on adjacent carbon atoms of the phenyl ring may, together with the carbon atoms to which they are attached, form a 5 to 7 membered saturated or unsaturated heterocyclic ring containing up to three ring heteroatoms selected from nitrogen, oxygen and sulfur, which ring is optionally substituted by one or more groups selected from halogen, nitro, $-S(O)_pR^{13}$, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, =O (or a 5- or 6- membered cyclic acetal thereof), and =NO-R^3, it being understood that a sulphur atom, where present in the ring, may be in the form of a group -SO- or $-SO_2-$;

n represents an integer from one to five: when n is greater than one the groups R^2 may be the same or different;

$R^3$and R^4 each independently represent a hydrogen atom, or a straight- or branched chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

R^5 represents a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; or a straight- or branched-chain alkenyl or alkynyl group containing

from two to six (preferably from three to six) carbon atoms which is optionally substituted by one or more halogen atoms;

R^6 and R^7, which may be the same or different, each represent R^5 or phenyl optionally substituted by from one to five groups which may be the same or different selected from a halogen atom, a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms, nitro, cyano, $-CO_2R^5$, $-S(O)_pR^{13}$, $-NR^{11}NR^{12}$, $-OR^5$ and $-CONR^3R^4$;

R^8, R^9 and R^{10} each represent a hydrogen atom or R^6;

R^{11} and R^{12} each represent hydrogen or R^5;

R^{13} represents a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

k, p and q independently represent the values zero, one or two;

m represents one, two or three;

t represents an integer from one to four; when t is greater than one, the groups R^9 and R^{10} may be the same or different;

or an agriculturally acceptable salt or metal complex thereof.

In certain cases, the groups R to R^{13} may give rise to optical and/or stereoisomerism. All such forms are embraced by the present invention.

By the term "agriculturally acceptable salts" is meant salts the cations or anions of which are known and accepted in the art for the formation of salts for agricultural or horticultural use. Preferably the salts are water soluble. Suitable acid addition salts, formed by compounds of formula (I) containing an amino group, include salts with inorganic acids, for example, hydrochlorides, sulphates, phosphates and nitrates, and salts with organic acids, for example, acetic acid. Suitable salts formed by compounds of formula (I) which are acidic, i.e. compounds containing one or more carboxy groups, with bases include alkali metal (e.g. sodium and potassium) salts, alkaline earth metal (e.g. calcium and magnesium) salts, ammonium and

amine (e.g. diethanolamine, triethanolamine, octylamine, dioctylmethylamine and morpholine) salts.

In the description unless otherwise specified 'halogen' means a fluorine, chlorine, bromine or iodine atom.

Compounds of formula (I) wherein A represents (A-1) are preferred.

The phenyl ring of the compounds of formula (I) is preferably 2,4-disubstituted, 2,3-disubstituted or 2,3,4-trisubstituted.

Compounds of formula (I) in which R represents hydrogen or $-CO_2R^3$ wherein R^3 represents or a straight- or branched chain alkyl group containing up to three carbon atoms; and R^1 represents cyclopropyl are preferred.

Compounds of formula (I) in which R^2 represents a halogen atom; a straight- or branched chain alkyl group containing up to three carbon atoms which is optionally substituted by one or more halogen atoms; $-S(O)_pR^6$; $-OR^5$ or $-CH_2S(O)_qR^7$; wherein R^5, R^6 and R^7 each represent the same or different optionally halogenated methyl or ethyl groups are preferred.

A preferred class of compounds of formula (I) wherein A represents (A-1) are those wherein:

R is hydrogen or $-CO_2Et$;

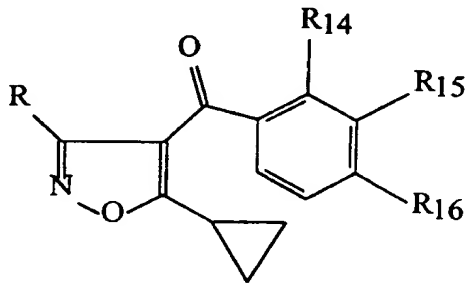
R^1 is cyclopropyl;

and two groups R^2, on adjacent carbon atoms of the phenyl ring may, together with the carbon atoms to which they are attached, combine to form a 5 or 6 membered saturated or unsaturated heterocyclic ring which is fused to the 2,3 or 3,4 positions of the benzoyl ring; wherein the heterocyclic ring contains two hetero atoms selected from sulphur and oxygen which are located at the 2 and 3, or 3 and 4 positions of the benzoyl ring; and in which the 4-substituent of the benzoyl ring is halogen or $S(O)_pMe$, or the 2-substituent of the benzoyl ring is methyl, $S(O)_pMe$ or $-CH_2S(O)_qMe$ respectively; and optionally the heterocyclic ring may be substituted by one or more halogen atoms.

A more preferred class of compounds of formula (I) are those wherein A represents (A-1); R is hydrogen or $-CO_2Et$; R^1 is cyclopropyl; R^2 is a

halogen atom or a group selected from $-CF_3$, Me, Et, $-S(O)_pMe$, $-CH_2S(O)_qMe$ and optionally halogenated methoxy or ethoxy; and n is two or three.

A yet more preferred class of compounds of formula (I) are those having the formula (Ia):



(Ia)

wherein:

R is hydrogen or $-CO_2Et$;

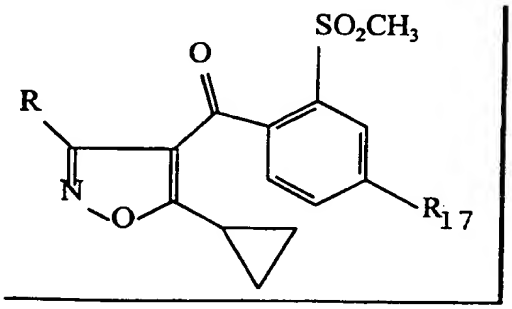
R^{14} is selected from $-S(O)_pMe$, Me, Et, a chlorine, bromine or fluorine atom, methoxy, ethoxy and $-CH_2S(O)_qMe$;

R^{15} is selected from a hydrogen atom, a chlorine, bromine or fluorine atom, methoxy, ethoxy and $-S(O)_pMe$; and

R^{16} is selected from a hydrogen atom, a chlorine, bromine or fluorine atom, methoxy and CF_3;

and wherein at least one of R^{15} and R^{16} is other than hydrogen.

An especially preferred class of compounds of formula (I) have the formula (Ib):



(Ib)

wherein R^{17} is chlorine, bromine or trifluoromethyl; and

R is hydrogen or $-CO_2Et$.

The following compounds of formula (I) are among the most preferred for use in the present invention:

5-cyclopropyl-4-[2-chloro-3-ethoxy-4-(ethylsulphonyl)benzoyl]isoxazole;

4-(4-chloro-2-methylsulphonylbenzoyl)-5-cyclopropylisoxazole;

5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole;

4-(4-bromo-2-methylsulphonylbenzoyl)-5-cyclopropylisoxazole;

5-cyclopropyl-4-[4-fluoro-3-methoxy-2-(methylsulphonyl)benzoyl]isoxazole;

4-(4-bromo-2-methylsulphonylmethylbenzoyl)-5-cyclopropylisoxazole;

ethyl 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole-3-carboxylate;

5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)-3-methylthio-isoxazole.

The most preferred compound is 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole (isoxaflutole).

Procedures for preparing isoxazoles of formula (I) are as described in European Patent Publication Nos. 0418175, 0487357, 0527036 and 0560482.

The method of the invention can be used on genetically modified crops.

By genetically modified crop is understood those crops which have been made tolerant towards herbicides by conventional sowing and cultivation methods or genetic engineering methods.

According to a further feature of the present invention, there are provided compositions suitable for herbicidal use in the method of the invention comprising one or more of the 4-benzoylisoxazoles of formula (I) or an agriculturally acceptable salt or metal complex thereof (which may be encapsulated as hereinbefore described), in association with, and preferably homogeneously dispersed in, one or more compatible agriculturally-acceptable diluents or carrier and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type generally accepted in the art as being suitable for use on herbicidal compositions and which are

compatible with compounds of formula (I)]. The term «homogeneously dispersed» is used to include compositions in which the compounds of formula (I) are dissolved in other components. The term «herbicidal compositions» is used in a broad sense to include not only compositions which are ready for use as herbicides but also concentrates which must be diluted before use. Preferably, the compositions contain from 0.05 to 90% by weight of one or more compounds of formula (I).

The herbicidal compositions may contain both a diluent or carrier and surface-active (e.g. wetting, dispersing, or emulsifying) agent. Surface-active agents which may be present in herbicidal compositions of the present invention may be of the ionic or non-ionic types, for example sulphoricinoleates, quaternary ammonium derivatives, products based on condensates of ethythene oxide with alkyl and polyaryl phenols, e.g. nonyl- or octyl-phenols, tristyryl phenols, condensates of ethylene oxide with alcohols, or carboxylic acid esters of anyhydrosorbitols which have been rendered soluble by etherification of the free hydroxy groups by condensation with ethylene oxide, alkali and alkaline earth metal salts of sulphuric acid esters and sulphonic acids such as dinonyl- and dioctyl-sodium sulphonosuccinates and alkali and alkaline earth metal salts of high molecular weight sulphonic acid derivatives such as sodium and calcium lignosulphonates and sodium and calcium alkylbenzene sulphonates.

Suitably, the herbicidal compositions according to the present invention may comprise up to 10% by weight, e.g. from 0.05% to 10% by weight, of surface-active agent but, if desired, herbicidal compositions according to the present invention may comprise higher portions of surface-active agent, for example up to 15% by weight in liquid emulsifiable suspension concentrates and up to 25% by weight in liquid water soluble concentrates.

Examples of suitable solid diluents or carriers are aluminium silicate, microfine silicon dioxide, talc, chalk, calcined magnesia, kieselguhr, tricalcium phosphate, powdered cork, adsorbent carbon black and clays such as kaolin, attapulgite, diatomaceous earth, mica, alumina oxide, titanium oxide and bentonite. The solid compositions (which may take the form of

dusts, granules or wettable powders) are preferably prepared by grinding the compounds of formula (I) with solid diluents or by impregnating the solid diluents or carriers with solutions of the compounds of formula (I) in volatile solvents, evaporating the solvents and if necessary, grinding the products so as to obtain powders. Granular formulations may be prepared by absorbing the compounds of formula (I) dissolved in suitable solvents, (which may, if desired, be volatile) onto the solid diluents or carriers in granular form and, if desired, evaporating the solvents, or by granulating compositions in powder form obtained as described above. Solid herbicidal compositions, particularly wettable powders and granules, may contain wetting or dispersing agents (for example of the types described above), which may also, when solid, serve as diluents or carriers.

Liquid compositions according to the invention may take the form of aqueous, organic or aqueous-organic solutions, suspensions and emulsions which may incorporate a surface-active agent. Suitable liquid diluents for incorporation in the liquid compositions include water, glycols, glycol ethers, tetrahydrofurfuyl alcohol, acetophenone, cyclohexanone, isophorone, alkyl pyrrolidones, butylolactone, chlorinated toluene, xylene, mineral, animal and vegetable oils, esterified vegetable oils and light aromatic and naphthenic fractions of petroleum (and mixtures of these diluents). Surface-active agents, which may be present in the liquid compositions, may be ionic or non-ionic (for example of the types described above) and may, when liquid, also serve as diluents or carriers.

Powders, dispersible granules and liquid compositions in the form of concentrates may be diluted with water or other suitable diluents, for example mineral or vegetable oils, particularly in the case of liquid concentrates in which the diluent or carrier is an oil, to give compositions ready for use.

When desired, liquid compositions of the compounds of formula (I) may be used in the form of self-emulsifying concentrates containing the active substances dissolved in the emulsifying agents or in solvents containing emulsifying agents compatible with the active substances, the

simple addition of such concentrates to water producing compositions ready for use.

Liquid concentrates in which the diluent or carrier is an oil may be used without further dilution using the electrostatic spray technique.

Herbicidal compositions according to the present invention may also contain, if desired, conventional adjuvants such as adhesives, protective colloids, thickeners, penetrating agents, spreading agents, stabilisers, buffers, sequestering agents, anti-caking agents, colouring agents and corrosion inhibitors. These adjuvants may also serve as carriers or diluents.

Unless otherwise specified, the following percentages are by weight. Preferred herbicidal compositions according to the present invention are encapsulations containing water dispersible granules which comprise from 1 to 90%, e.g. 25 to 75% of one or more compounds of formula (I), from 1 to 15%, e.g. 2 to 10%, of surface-active agent and from 5 to 95%, e.g. 20 to 60%, of solid diluent, e.g. clay, granulated with the addition of water to form a paste and then dried;

aqueous suspension concentrates which comprise from 5 to 70% of one or more compounds of formula (I), from 2 to 10% of surface-active agent, from 0.1 to 5% of thickener and from 15 to 87.9% of water;

wettable powders which comprise from 5 to 90% of one or more compounds of formula (I), from 2 to 10% of surface-active agent and from 8 to 88% of solid diluent or carrier;

water soluble or water dispersible powders which comprise from 5 to 90% of one or more compounds of formula (I), from 2 to 40% of sodium carbonate and from 0 to 88% of solid diluent;

liquid water soluble concentrates which comprise from 5 to 50%, e.g. 10 to 30% of one or more compounds of formula (I), from 0 to 25% of surface-active agent and from 10 to 90%, e.g. 45 to 85%, of water miscible solvent, e.g. triethylene glycol, or a mixture or water-miscible solvent and water;

liquid emulsifiable suspension concentrates which comprise from 5 to 70% of one or more compounds of formula (I), from 5 to 15% of surface-

active agent, from 0.1 to 5% of thickener and from 10 to 84% of organic solvent, e.g. mineral oil; and

emulsifiable concentrates which comprise 0.05 to 90%, and preferably from 1 to 60% of one or more compounds of formula (I), from 0.01 to 10%, and preferably from 39 to 98.99%, of organic solvent.

The water dispersible granules comprising isoxazoles of formula (I) whose apparent density was 0.25 – 0.75, have a particle size of generally 10-2000 μm, preferably 300-1500 μm.

Herbicidal compositions according to the present invention may also comprise the compounds of formula (I) in association with, and preferably homogeneously dispersed in, one or more other pesticidally active compounds and, if desired, one or more compatible pesticidally diluents or carriers, surface-active agents and conventional adjuvants as hereinbefore described.

Examples of other pesticidally active compounds which may be included in, or used in conjunction with, the herbicidal compositions of the present invention include herbicides, for example to increase the range of weed species controlled for example acetochlor,

alachlor [2-chloro-2,6'-diethyl-N-(methoxy-methyl)-acetanilide], atrazine [2-chloro-4-ethylamino-6-isoprpylamino-1,3,5-triazine], bromoxynil [3,5-dibromo-4-hydroxybenzonitrile], chlortoluron [N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea, cyanazine [2-chloro-4-(1-cyano-1-methylethylamino)-6-ethylamino-1,3,5-triazine], 2,4-D [2,4-dichlorophenoxy-acetic acid], dicamba [3,6-dichloro-2-methoxybenzoic acid], difenzoquat [1,2-diethyl-3,5-diphenyl-pyrazolium salts], dimethanamid, flampropmethyl [methyl N-2-(N-benzoyl-3-chloro-4-fluoroanilino)-propionate], flufenacet, fluometron [N'-(3-trifluoro-methylphenyl)-N,N-dimethylurea], glyphosate, glufosinate, isoproturon [N'-(4-isopropylphenyl)-N,N-dimethylurea], metolachlor, metribuzin, insecticides, e.g. synthetic pyrethroid, e.g. permethrin and cypermethrin, fipronil and fungicides, e.g. carbamates, e.g. methyl N-(1-butyl-carbamoyl-

benzimidazol-2-yl)carbamate, and triazoles e.g. 1-(4-chloro-phenoxy)-3,3-dimethyl-1-(1,2,4-triazol-1-yl)-butan-2-one.

Pesticidally active compounds and other biologically active materials which may be included in, or used in conjunction with, the herbicidal compositions of the present invention, for example those hereinbefore mentioned, and which are acids, may, if desired, be utilised in the form of conventional derivatives, for example alkali metal and amine salts and esters.

The following Examples illustrate herbicidal compositions which may be used in the present invention. The Active Ingredient listed in the following examples refers to a compound of general formula (I).

Example C1:

An emulsifiable concentrate is formed from:

Active ingredient	20% w/v
N-Methylpyrrolidinone (NMP)	25% w/v
Calcium dodecylbenzenesulphonate (CaDDBS)	4% w/v
Nonylphenol ethylene oxide propylene oxide Condensate (NPEOPO)	4% w/v
Aromatic solvent	to 100 volumes

by stirring NMP, active ingredient (Compound 1), CaDDBS, NPEOPO and Aromatic solvent until a clear solution is formed, and adjusting to volume with Aromatic solvent.

Example C2

A wettable powder is formed from:

Active Ingredient	50% w/w
Sodium dodecylbenzenesulphonate	3% w/w
Sodium methyl oleoyl taurate	5% w/w
Sodium polycarboxylate	1% w/w
Microfine silicon dioxide	3% w/w
China clay	38% w/w

by blending the above ingredients together and grinding the mixture in an air jet mill.

Example C3

A suspension concentrate is formed from:

Active Ingredient	50% w/v
Antifreeze (Propylene glycol)	5% w/v
Ethoxylated tristyrylphenol phosphate	0.5% w/v
Nonyl phenol 9 mole ethoxylate	0.05% w/v
Sodium polycarboxylate	0.02% w/v
Attaclay	1.5% w/v
Antifoam	0.003% w/v
Water	to 100 volumes

by stirring the above ingredients together and milling in a bead mill.

Example C4

A water dispersible granule is formed from:

Active Ingredient	50% w/w
Sodium dodecylbenzenesulphonate	3% w/w
Sodium methyl oleoyl taurate	5% w/w
Sodium polycarboxylate	1% w/w
Binder (Sodium lignosulphonate)	8% w/w
china clay	30% w/w
Microfine silicon dioxide	3% w/w

by blending the above ingredients together, grinding the mixture in an air jet mill and granulating by addition of water in a suitable granulation plant (e.g. Fluid bed drier) and drying. Optionally the active ingredient may be ground either on its own or admixed with some or all of the other ingredients.

The following non-limiting Example illustrates the invention.

EXAMPLE 1

Glasshouse experiment showing unexpected enhancement of biological activity of weeds following application of compound (isoxaflutole).

7 x 7 cm^2 pots were filled with a non-sterile loam soil. Weed seeds (Amaranthus retroflexus, Echinochloa crus galli and Setaria viridis) were placed in three separate shallow wells with a maize seed (Pioneer 3394) inserted to a depth of 4 cm in between in each pot and the seeds lightly covered with soil.

A 1 ml solution of technical isoxaflutole, suitably diluted to give a dose equivalent to 6.25, 12.5, 25, 50 and 100 g/ha in acetonitrile, was pipetted evenly on the soil surface of pots (replicated 10 times), according to the following regime.

On day 1, a set of 5 pots were treated with 5 dose rates (6.25 – 100 g/ha) and set aside in the glasshouse. At the same time, on day 1 a second set of 4 pots were treated with 4 dose rates (treatment A: 6.25-50 g/ha) along with a third set of 3 pots treated with 3 dose rates (treatment B: 6.25-25 g/ha). On day 2 each of the second and third pots were treated again the pots receiving identical treatments A and B and second set of pots set aside in the glasshouse. On day 3 each of the third set of pots were treated again, the pots receiving identical treatment B. On day 4 each of the third set of pots were treated, the pots receiving identical treatment B and the pots set aside in the glasshouse.

The pots were maintained in a glasshouse, with overhead watering (3 x daily) and supplementary lighting. Visual assessment of % reduction, compared to untreated control plants was recorded 14 days after treatment. The results (average of 10 replicates) are shown on Table 1, where the dosing regime refers to the number of daily applications followed by the dose of compound on each day.

TABLE 1

% Damage 14 DAT: Comparison of Single vs. Multiple Application

% Damage				
Dosing Regime	Amare	Echcg	Setvi	Maize (P 3394)
2 x 6.25	34	18	18	0
1 x 12.5	0	0	0	0
4 x 6.25	80	97	75	0
2 x 12.5	46	68	42	0
1 x 25	8	32	10	0
4 x 12.5	79	100	91	6
2 x 25	42	70	44	0
1 x 50	50	84	24	2
4 x 25	90	100	77	6
2 x 50	78	96	66	10
1 x 100	79	94	59	8

As can be seen in Table 1, the efficacy of the herbicide on key weed species is improved by 2 applications separated by 1 day and surprisingly even more enhanced by 4 applications separated by 3 days, compared to a single application of the same total dose of compound. Repeat applications did not appear to have any impact on maize phytotoxicity.

EXAMPLE 2

A 30% aqueous solution of Gerol was prepared and 67 g added to 80 g of a suspension of isoxaflutole (250 g/L) in water containing a dispersant (sodium polynapthalene sulphate to maintain the suspension) with stirring. Powdered calcium carbonate (1 g) was added and the resultant mixture sonicated with ultrasound before addition of polysiloxane oil (600 ml) to give an emulsion. Acetic acid (3 ml) was added and stirring continued for 2 hours. The stirring was stopped and the upper layer decanted from the precipitate. This solid was filtered off, washed with water and dried to give

microparticles of encapsulated isoxaflutole. The encapsulated material may be formulated using methods hereinbefore described.

Gerol: Diethyleneglycol-ethyleneglycol-isophthalic acid-sodium 5-sulfoisophthalate-terephthalic acid-triethylene glycol copolymer.

EXAMPLE 3

Maize is sown and then grown up in area where weeds and weed seeds are present. The weeds are a selection from: Alopecurus myosuroides, Avena fatua, Digitaria sanguinalis, Echinochloa crus-galli, Eleusine indica, Lolium multiflorum, Setaria viridis, Sorghum halepense, Cyperus esculentus, Cyperus iria, Cyperus rotundus, Eleocharis acicularis, Abutilon theophrasti, Amaranthus retroflexus, Bidens pilosa, Chenopodium album, Galium aparine, Ipomoea purpurea, Lamium purpureum, Matricaria inodora, Sesbania exalta, Sinapis arvensis, Solanum nigrum, Stellaria media, Veronica hederifolia, Veronica Persia, Viola arvensis and Xanthium strumarium,

After one week sowing the maize, isoxazole is sprayed as an encapsulated formulation as hereinbefore described at a rate of 105 g/ha of herbicidally active compound, the weight ratio of isoxazole: copolyester being 1:10. The amounts of isoxazole of formula (I) and DKN were measured after 4 days in a 5 cm deep soil core around the seed or seedling. The weight ratio of isoxazole: DKN was found to be 1.

The activity of the isoxazole on the crop and weeds was observed after 3 weeks, and found to be equal to 2% and 95% respectively.

A similar application in similar soil conditions without the copolyester provided a weight ratio of isoxazole: DKN of 0.1 and herbicidal activity on both crop and weeds was 15% and 95% respectively.

EXAMPLE 4

Maize is sown in an area where weeds and weed seeds of the species Setaria viridis are present. Isoxazole is sprayed on the soil surface as an encapsulated formulation at a rate of 105 g herbicidally active compound per hectare. The activity of the isoxazole on maize and Setaria viridis was observed 6, 11, 14 and 17 days after treatment (DAT).

Activity of 4-benzoylisoxazole on Setaria viridis

Application rate g/ha	Formulation	DAT			
		6	11	14	17
105	Encapsulated	0	40	70	90
	WG	10	50	75	100

Activity of 4-benzoylisoxazole on Maize

Application rate g/ha	Formulation	DAT			
		6	11	14	17
105	Encapsulated	0	0	3	8
	WG	0	5	7	10

Activity on Setaria viridis by the encapsulated formulation was equal to that of the WG formulation. The encapsulated formulation decreased phytotoxicity on maize by 20-30% compared to the WG formulation.

EXAMPLE 5

Maize is sown and grown up in an area where weeds and weed seedlings are present. The weeds are Amaranthus retroflexus, Echinochloa crus-galli and Setaria viridis. Solutions of isoxazole in acetonitrile were applied directly to the soil surface at dose rates of 100, 50 and 25 g/ha to plots A, B and C respectively. 1 DAT dose rates of 50 and 25 g/ha were applied to plots B and C respectively, 2 and 3 DAT a dose rate of 25 g/ha was applied to plot C.

Activity of the isoxazole on maize and weed species was observed 14 DAT.

Dosing regime	AMARE	ECHCG	SETVI	Maize
4 x 25 g/ha	90	100	77	6
2 x 50 g/ha	78	96	66	10
1 x 100 g/ha	79	94	59	8

Maintaining the isoxazole in the surface of the soil within the area containing weed seeds and seedlings, by sequential application of low dose rates of isoxazole, provides improved activity on weeds.

CLAIMS

1. A method for controlling the growth of weeds at a locus in a solid growing medium which comprises treating the locus with a composition comprising an isoxazole herbicide to provide progressive or sequential delivery or release of isoxazole herbicide into the surface layer of the medium.

2. A method according to claim 1 in which the growing medium is soil.

3. A method according to claim 1 or 2 in which the locus is a crop-growing locus.

4. A method according to claim 1, 2 or 3 in which the surface layer of the medium is from the surface to a depth of 10 cm.

5. A method according to any one of the preceding claims which comprises applying to the locus sequential low doses of isoxazole herbicide.

6. A method according to any one of claims 1 to 4 which comprises treating the locus with a delayed release composition comprising the isoxazole herbicide.

7. A method according to claim 6 in which the delayed release composition comprises an encapsulated composition.

8. A method according to claim 6 or 7 in which an encapsulated isoxazole is used, comprising an isoxazole derivative encapsulated with a solid film comprising an inert material itself having no substantial herbicidal activity.

9. A method according to claim 8 in which granules of an isoxazole derivate from 0.1 to 50 μm in size are used.

10. A method according to any one of the preceding claims in which the isoxazole derivative is of general formula I as hereinbefore defined.

11. A delayed release composition comprising an isoxazole herbicide.

12. A method according to claim 1 substantially as hereinbefore described in Example 1.

13. A delayed release composition according to claim 9 substantially as hereinbefore described.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/01102

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A01N43/80 A01N25/26 A01N25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
29 May 2000	14/06/2000

Name and mailing address of the ISA	Authorized officer
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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